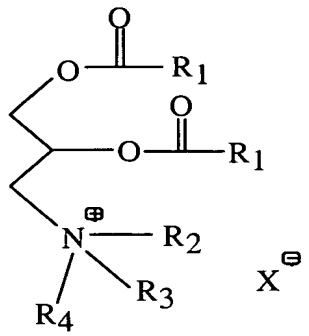
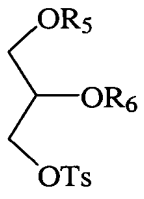
CLAIMS

1. A process for the synthesis of lipid cations having general formula (6):



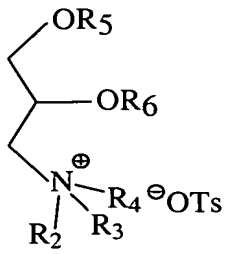
(6)

in which: R_1 represents a lipophilic chain, preferably selected from C_1-C_{24} alkyl, C_1-C_{24} alkenyl, C_1-C_{24} alkynyl, C_1-C_{24} alkanoyl, and C_1-C_{24} alkenoyl or alkynoyl radicals, R_2, R_3, R_4, which are identical or different from one another, represent C_1-C_{10} alkyl, C_1-C_{10} alkenyl, or C_1-C_{10} alkynyl radicals, optionally containing hydroxyl, ether, halogen and acyloxy functions, and X^- is an oxy-anion or a halide, characterized in that a compound of formula (2),



(2)

in which R_5 and R_6, which are identical or different from one another, represent a C_1-C_5 acyl, a benzyl group or a diol-protective group, is reacted in an alcoholic solvent with from 1 to 6 equivalents of $NR_2R_3R_4$, in which R_2, R_3 and R_4 have the meanings given above, to give the compound of formula (3)



(3)

in which R_2, R_3, R_4, R_5 and R_6 have the meanings given above.

2. A process according to Claim 1, characterized in that the alcoholic solvent is selected from ethanol, isopropanol and/or methanol.

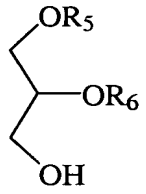
3. A process according to Claim 1, characterized in that the alcoholic solvent is used in a quantity of from 0.5 - 1.5 litres per equivalent of $NR_2R_3R_4$.

4. A process according to Claim 1, characterized in that all three of R_2, R_3 and R_4 are methyl radicals.

5. A process according to Claim 1, characterized in that it is carried out at a temperature of from 50 - 100ºC.

6. A process according to Claim 1, characterized in that the diol-protective group is a ketal, preferably a cyclic ketal, even more preferably a solketal.

7. A process according to any one of the preceding claims, characterized in that the compound of formula (2) is obtained by reacting from 0.9 to 1.2 equivalents of compound of formula (1)



(1)

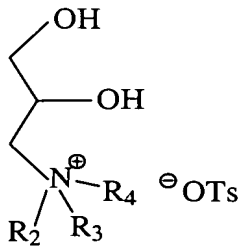
with 1 equivalent of tosyl halide, preferably chloride, in an apolar, organic solvent.

8. A process according to Claim 7, characterized in that the apolar, organic solvent is a hydrocarbon, preferably toluene.

9. A process according to Claim 7, characterized in that the reaction is carried out at a temperature of 15-35ºC, preferably 20-25ºC.

10. A process according to Claim 7, characterized in that the reaction is carried out with the use of from 0.8 to 1.2 litres of solvent per equivalent of compound (1).

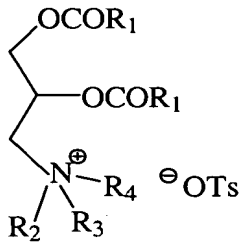
11. A process according to any one of the preceding claims comprising the removal of the R_5 and R_6 groups to give compound (4)



(4)

in which R_2, R_3 and R_4 have the meanings given above, the subsequent reaction of compound (4) with 2-4 equivalents of R_1COCl in an aprotic, apolar, organic solvent, preferably a

chlorinated solvent, in which R_1 has the meaning given above, to give compound (5)



(5)

in which R_1, R_2, R_3 and R_4 have the meanings given above, and the subsequent ion exchange of the tosylate anion of compound (5) with a halide anion to give the lipid cation of formula (6).

12. A process according to Claim 11, characterized in that groups R_5 and R_6 are removed by acid hydrolysis.

13. A process according to Claim 11, characterized in that the aprotic, apolar, organic solvent is used in a quantity of 3.5-5.5 litres per equivalent of compound (4).

14. A process according to Claim 11, characterized in that the aprotic, apolar, organic solvent is selected from methylene chloride, chloroform, and tetrachloroethylene.

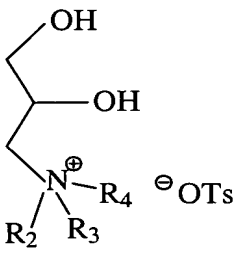
15. A process according to claim 11, characterized in that the ion exchange is performed by chromatography on ion-exchange resin.

16. A process according to Claim 15, characterized in that the ion-exchange resin is a strong basic resin.

17. A process according to any one of the preceding claims, characterized in that the lipid cation of formula (6) is purified by crystallization, preferably from acetonitrile.

18. A process according to any one of the preceding claims, characterized in that the lipid cation of formula (6) is N-[1-(2,3-dioleyloxy-propyl]-N,N,N-trimethylammonium chloride (DOTAP-Cl).

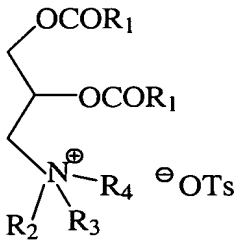
19. A compound of formula (4)



(4)

in which R_2, R_3 and R_4, which are identical or different from one another, represent C_1-C_{10} alkyl radicals, C_1-C_{10} alkenyl radicals, or C_1-C_{10} alkynyl radicals, optionally containing hydroxyl, ether, halogen, and acyloxy functions.

20. A compound of formula (5)

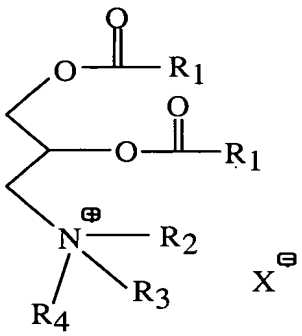


(5)

in which: R_1 represents a lipophilic chain, preferably selected from C_1-C_{24} alkyl, C_1-C_{24} alkenyl, C_1-C_{24} alkynyl, C_1-C_{24} alkanoyl, and C_1-C_{24} alkenoyl or alkynoyl radicals, and

R_2, R_3, R_4, which are identical or different from one another, represent C_1-C_{10} alkyl, C_1-C_{10} alkenyl, or C_1-C_{10} alkynyl radicals, optionally containing hydroxy, ether, halogen and acyloxy functions.

21. Use of compounds of formula (4) and/or (5) as intermediates in the synthesis of cationic lipids having general formula (6):



(6)

in which R_1 represents a lipophilic chain, preferably selected from C_1-C_{24} alkyl, C_1-C_{24} alkenyl, C_1-C_{24} alkynyl, C_1-C_{24} alkanoyl, and C_1-C_{24} alkenoyl or alkynoyl radicals, R_2, R_3, R_4, which are identical or different from one another, represent C_1-C_{10} alkyl, C_1-C_{10} alkenyl, or C_1-C_{10} alkynyl radicals, optionally containing hydroxyl, ether, halogen and acyloxy functions, and X^- is an oxy-anion or a halide.